PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference N.88228 TAC	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP 03/03377	International filing date *(day/month/year)* 01.04.2003	Priority date *(day/month/year)* 01.04.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/04		
Applicant ALMIRALL PRODESFARMA S.A. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 1 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
24.10.2003	25.03.2004
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Boletti-Cremers, K Telephone No. +49 89 2399-8541

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP 03/03377

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-77	as originally filed

Claims, Numbers

1 (part), 11-32	as originally filed
1 (part), 2-10	received on 24.10.2003 with letter of 23.10.2003

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).

   ☐ the language of publication of the international application (under Rule 48.3(b)).

   ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   ☐ contained in the international application in written form.

   ☐ filed together with the international application in computer readable form.

   ☐ furnished subsequently to this Authority in written form.

   ☐ furnished subsequently to this Authority in computer readable form.

   ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   ☐ the description, pages:

   ☐ the claims, Nos.:

   ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

   (Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

   ☐ the entire international application,

   ☒ claims Nos. 31,32

   because:

   ☒ the said international application, or the said claims Nos. 31,32 relate to the following subject matter which does not require an international preliminary examination (specify):

   see separate sheet

   ☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

   ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

   ☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

   ☐ the written form has not been furnished or does not comply with the Standard.

   ☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-32
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-32
Industrial applicability (IA)	Yes:	Claims	1-30
	No:	Claims	

2. Citations and explanations

   see separate sheet

POINT III.

For the assessment of the presently worded claims 31, 32 on the question whether they are industrially applicable, no unified criteria exist in the PCT. The patentability can also be dependent upon the formulation of the claims. The EPO,for example, does not recognise as industrially applicable claims to the use of a compound in medical treatment, but will allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a new medical treatment.

POINT V.

The following documents , quoted in the I.S.R., have been considered as relevant for the examination of the present application . Their numbering will be adhered to for the rest of the procedure.

(1) WO-A-0214317.
(2) W-A-0220013.
(3) WO-A-0 75130
(4) WO-A-02 36589 (point VI).

1. Novelty.

1.1 In view of the fact that the compounds 5B, 6B and 8D of respectively (1) and (2) have been disclaimed of original claim 1 , the claimed matter on file can be regarded as novel with respect to the contents of those documents.
Nevertheless , if the Applicant has the intention to enter the regional European proceedings , it might be necessary to avoid the use of disclaimers in order to enable a possible acknowledgement of the novelty because said use is the subject of a pending Decision of the Enlarged Board of Appeal.

1.2 Presently antiallergic claimed compounds differ merely from those disclosed in (3) by the fact that they are **aza** indolyle compounds instead of indolyle as in (3). The novelty of the claims on file vs. the content of (3) can thus be acknowledged.

1.3 Although (4) , as filed on 26.10.2001 and published on 10.05.2002 and claiming a priority right on 31.10.2000 , is not prior art according to the Chap II PCT

proceedings, its content will not affect the novelty of the claims in the regional European proceedings to come, because (4) merely relates to the same subject matter as (3).
Nevertheless , the extensive examination of that document , on the question whether it constitutes prior art or not , will depend essentially on the analysis of the claimed priority rights of present application and will only be performed in the regional European proceedings to come.

2. Inventive step.

In view of the fact that presently claimed antiallergic compounds possess a side chain located on the 1- position of the piperidine nucleus , side chain which ends with either carboxy function or any of its derivatives , (3) represents the most relevant prior art , in that the antiallergic compounds disclosed in (3) differ merely from those of present application by the structural characteristics mentioned under point 1.2 above.
Insofar as (1) and (2) provide evidence that the replacement of an indolyle nucleus by its aza homologues is not likely to affect the pharmacological profile of the aza compounds on file , the claimed matter is considered as the result of the obvious combination of the teachings of the most relevant prior art (3) with the contents of (1) and (2) and , therefore not inventive .

The Applicant will be therefore invited to show either by argumentation or technical evidence when the application will enter the regional proceedings , that the claimed compounds possess any advantage or surprising feature when they are compared with those of (3) in order to enable the acknowledgment of the inventiveness of the application with respect to the content of (3).

3. Formal Points.

3.1 (1)-(3) (and possibly (4) in the regional proceedings) should be mentioned and briefly discussed in the description when the application will enter the regional proceedings .